

AS
10075625-021502
A preferred class of compounds of Formula (I) are those wherein Ar represents a substituted or unsubstituted (preferably aromatic), heterocycle group said heterocyclic group containing from 5 to 10 ring atoms, said ring atoms forming one or two rings, wherein the or each ring contains 5 or 6 ring atoms the heteroatoms being selected from N, O, and S, and any substituents on the Ar group being independently selected from the group consisting of:

a) Cl, (b) Br, (c) F, (d) OH, (e) NO₂, (f) CF₃, (g) C₁₋₄ lower alkyl (in particular CH₃), (h) SCH₃, (i) NHCOCH₃, (j) N(R⁶)(R⁸) wherein R⁶ and R⁸ are the same or different and each represents H or lower C₁₋₄ alkyl (preferably R⁶ and R⁸ are the same or different and each represent H or lower C₁₋₄ alkyl), (k) OR¹⁰ wherein R¹⁰ represents a saturated or unsaturated lower C₁₋₆ straight or branched hydrocarbyl group which may be unsubstituted or substituted by 1, 2, or 3 substituents selected from:

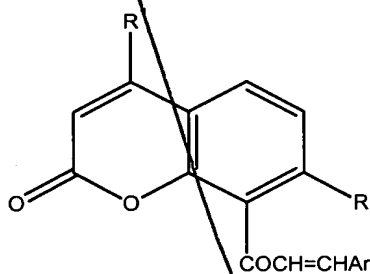
Cl, Br, F, OMe, NO₂ and, CF₃,

and (l) -OCOR¹¹ wherein R¹¹ represents a saturated or unsaturated lower C₁₋₆ straight or branched hydrocarbyl group or a phenyl group.--

IN THE CLAIMS

31. (New)

A compound of Formula (I):



or a pharmaceutically acceptable salt or solvate thereof wherein:

Ar represents: a substituted or unsubstituted, aromatic or non-aromatic, carbocyclic or heterocyclic group having from 5 to 10 ring atoms or two rings with each ring containing 5 or 6 ring atoms, wherein the heterocyclic group comprises a heteroatom selected from N, O and S, and wherein the carbocyclic or heterocyclic group may be unsubstituted or substituted with

Sub 1
B
Contd

one or more substituents selected from the group consisting of: (a) Cl, (b) Br, (c) F, (d) OH, (e) NO₂, (f) CF₃, (g) C₁₋₄ alkyl, (h) SCH₃, (i) NHCOCH₃, (j) N(R⁶)(R⁸) wherein R⁶ and R⁸ are the same or different and each represents H or C₁₋₄ alkyl, (k) OR¹⁰ wherein R¹⁰ represents a saturated or unsaturated C₁₋₆ straight or branched hydrocarbyl group which may be unsubstituted or substituted with from 1 to 3 substituents selected from Cl, Br, F, OMe, NO₂ and CF₃, and (l) -OCOR¹¹ wherein R¹¹ represents a saturated or unsaturated C₁₋₆ straight or branched hydrocarbyl group or a phenyl group;

AB

R represents OH, OR¹⁰ or OCOR¹¹ wherein R¹⁰ and R¹¹ are as defined above; and R¹ represents H or a C₁₋₆ straight or branched hydrocarbyl group which may be unsubstituted or substituted with from 1 to 3 substituents selected from Cl, Br, F, OMe, NO₂ and CF₃.

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32. (New) The compound of claim 31, wherein Ar represents a substituted or unsubstituted, aromatic or non-aromatic, heterocyclic group having from 5 to 10 ring atoms or two rings with each ring containing 5 or 6 ring atoms, wherein the heterocyclic group comprises a heteroatom selected from N, O and S, and wherein the heterocyclic group can be unsubstituted or substituted with one or more substituents selected from the group consisting of: (a) Cl, (b) Br, (c) F, (d) OH, (e) NO₂, (f) CF₃, (g) C₁₋₄ alkyl, (h) SCH₃, (i) NHCOCH₃, (j) N(R⁶)(R⁸) wherein R⁶ and R⁸ are the same or different and each represents H or C₁₋₄ alkyl, (k) OR¹⁰ wherein R¹⁰ represents a saturated or unsaturated C₁₋₆ straight or branched hydrocarbyl group which may be unsubstituted or substituted with from 1 to 3 substituents selected from: Cl, Br, F, OMe, NO₂ and, and (l) -OCOR¹¹ wherein R¹¹ represents a saturated or unsaturated C₁₋₆ straight or branched hydrocarbyl group or a phenyl group.

33. (New) The compound of claim 31, wherein the Ar group is a heterocyclic group, wherein at least one of the ring atoms is a nitrogen atom.

34. (New) The compound of claim 33, wherein Ar represents pyridyl or indolyl.

35. (New) The compound of claim 31, wherein Ar represents a substituted or unsubstituted, aromatic or non-aromatic carbocyclic group.

36. (New) The compound of claim 31, wherein the substituents on the Ar group are selected from the group consisting of: NHCOCH_3 , $\text{N(R}^8\text{)(R}^8\text{)}$, OR^{10} , and $-\text{OCOR}^{11}$.

37. (New) The compound of claim 31, wherein Ar is substituted with one or more OR^{10} groups and R^{10} is a saturated or unsaturated C_{1-6} straight or branched hydrocarbyl group.

38. (New) The compound of claim 37, wherein R^{10} is methyl.

39. (New) The compound of claim 37, wherein Ar is a phenyl or a phenyl substituted with from 1 to 3 methoxy groups.

40. (New) The compound of claim 31, wherein R is an unsaturated C_{1-6} straight or branched hydrocarbyl group.

41. (New) The compound of claim 40, wherein R is $\text{OCH}=\text{C}(\text{CH}_3)_2$, $\text{OCH}_2\text{CMe}=\text{CH}_2$, $\text{OCH}_2\text{CH}=\text{CH}_2$, or $\text{OCH}_2\text{C}\equiv\text{CH}$.

42. (New) The compound of claim 31, wherein Ar is selected from phenyl, trimethoxyphenyl, 3-pyridyl, 4-pyridyl, and 3-indolyl; and R is selected from $\text{OCH}=\text{C}(\text{CH}_3)_2$, $\text{OCH}_2\text{CMe}=\text{CH}_2$, $\text{OCH}_2\text{CH}=\text{CH}_2$ or $\text{OCH}_2\text{C}\equiv\text{CH}$.

43. (New) The compound of claim 35, wherein
Ar is selected from phenyl, which may be unsubstituted or substituted with from 1 to 3 substituents independently selected from Cl, Br, F, OMe, NO_2 , CF_3 , C_{1-4} alkyl, NMe_2 , NEt_2 , SCH_3 , and NHCOCH_3 ; thienyl; 2-furyl; 3-pyridyl; 4-pyridyl; or indolyl; and
R is selected from OH or OCH_2R^1 , wherein R^1 is selected from $-\text{CH}=\text{CMe}_2$,

-CMe=CH₂, -CH=CH₂ and -C≡CH.

44. (New) The compound of claim 31, wherein R⁶ and R⁸ are the same or different and each is independently H or C₁₋₄ alkyl.

45. (New) The compound of claim 31, wherein R¹⁰ and R¹¹ are each independently a saturated or unsaturated C₁₋₆ straight chain or branched hydrocarbyl group.

46. (New) The compound of claim 45, wherein R¹⁰ and R¹¹ are selected from methyl, ethyl, n-propyl, and isopropyl.

47. (New) The compound of claim 31, selected from the group consisting of:

1-[4-methyl-7-(3-methylbut-2-enyloxy)coumarin-8-yl]-3-(pyridine-3-yl)propen-1-one;

1-[4-methyl-7-(3-methylbut-2-enyloxy)coumarin-8-yl]-3-phenylpropen-1-one;

1-[4-methyl-7-(3-methylbut-2-enyloxy)coumarin-8-yl]-3-(3,4,5-trimethoxyphenyl)propen-1-one;

1-[4-methyl-7-(2-methylallyloxy)coumarin-8-yl]-3-(pyridine-3-yl)propen-1-one;

1-[4-methyl-7-(2-methylallyloxy)coumarin-8-yl]-3-phenylpropen-1-one;

1-[4-methyl-7-(2-methylallyloxy)coumarin-8-yl]-3-(3-methoxyphenyl)propen-1-one;

1-[4-methyl-7-(2-methylallyloxy)coumarin-8-yl]-3-(3,4,5-trimethoxyphenyl)propen-1-one;

1-[4-methyl-7-(allyloxy)coumarin-8-yl]-3-phenylpropen-1-one;

1-[4-methyl-7-(allyloxy)coumarin-8-yl]-3-(pyridine-3-yl)propen-1-one;

1-[4-methyl-7-(allyloxy)coumarin-8-yl]-3-(3-methoxyphenyl)propen-1-one;

1-[4-methyl-7-(allyloxy)coumarin-3-yl]-3-(3,4,5-trimethoxyphenyl)propen-1-one;

1-[4-methyl-7-(prop-2-ynyloxy)coumarin-8-yl]-3-(3,4,5-trimethoxyphenyl)propen-1-one;

1-[4-methyl-7-(prop-2-ynyloxy)coumarin-8-yl]-3-phenylpropen-1-one;

1-[4-methyl-7-(prop-2-ynyloxy)coumarin-8-yl]-3-(pyridine-3-yl)propen-1-one; and

1 -[4-methyl-7-(prop-2-ynyloxy)coumarin-8-yl]-3-(3-methoxyphenyl)propen-1-one.

Sub B2
48. (New) A method of treating cancer in a patient comprising administering to the patient a compound of claim 31.

49. (New) A method of treating or preventing neoplasms in a patient comprising administering to the patient a compound of claim 31.

50. (New) The method of claim 49, wherein the neoplasms are located in the uterus, ovary, or breast.

51. (New) The method of claim 48, wherein the cancer is a paclitaxel or docetaxel resistant cancer.

52. (New) The method of claim 48, further comprising administering one or more antineoplastic agents.

53. (New) The method of claim 52, wherein antineoplastic agent comprises paclitaxel or docetaxel.

Sub C4
54. (New) A method of treating or preventing menopausal disorders and osteoporosis in a patient comprising administering to the patient a compound of claim 31.

55. (New) A pharmaceutical composition comprising a compound of claim 31 and a pharmaceutically acceptable excipient.

Sub B3
56. (New) The pharmaceutical composition of claim 55 further comprising one or more antineoplastic agents.

57. (New) The pharmaceutical composition of claim 56, wherein the antineoplastic agent is selected from paclitaxel or docetaxel.

A complete listing of the currently pending claims is provided in Appendix C for the Examiners convenience.

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